STN - Structure Search 12-21-04

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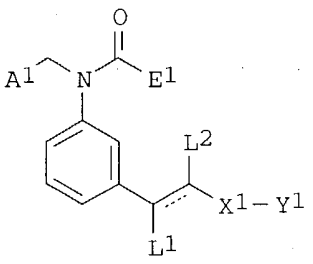
L10 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:453231 CAPLUS
DOCUMENT NUMBER: 141:23422
TITLE: Preparation of Non-steroidal FXR agonists
INVENTOR(S): Nicolaou, Kyriacos C.; Roecker, Anthony J.; Hughes, Robert; Pfefferkorn, Jeffrey A.
PATENT ASSIGNEE(S): The Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046162	A2	20040603	WO 2003-US36195	20031114
WO 2004046162	A3	20040812		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
US 2002-426456P	P	20021114
US 2003-491185P	P	20030729

OTHER SOURCE(S): MARPAT 141:23422
GI



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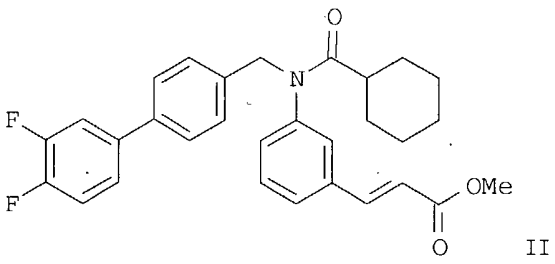


II

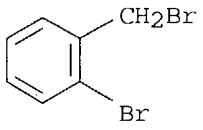
AB Non-steroidal N-aryl-N-arylmethyl amido and ureido compds. such as I [E1 = (C1-C8)alkyl, cyclohexyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, Ph, NH(C1-C8)alkyl; L1, L2 = H; dashed bond = single bond or double bond; X1 = CO, CH2; Y1 = H, NHZ1, NH(Z2)Z3, OZ4; A1 = aryl, heterocyclyl etc.; Z1 = H, Ph, alkyl, benzyl, benzoyl; Z2, Z3 = alkyl; Z2Z3 = cycloalkyl; Z4 = H, oxygen **protecting group**], were prepared for their therapeutic use as farnesoid X receptor (FXR) agonists. Thus, biaryl compound II, prepared via solid phase synthesis starting from N-(tert-butoxycarbonyl)-3-aminocinnamic acid, Merrifield Resin, 4-bromobenzaldehyde, cyclohexanoyl chloride, and 3,4-difluorobenzeneboronic acid, showed FXR activity (EC50 = 72 nM) and relative efficacy = 1.70 at 1 mM to 100 mM CDCA from a cell-based assay. The FXR agonists are useful as therapeutic agents for the treatment of diseases linked to cholesterol, bile acids, and their metabolism and

homeostasis.

IT **3433-80-5**, 2-Bromobenzyl bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-aryl-N-arylmethyl amido and ureido compds. as farnesoid X receptor agonists)

RN 3433-80-5 CAPLUS

CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:314929 CAPLUS

DOCUMENT NUMBER: 136:341001

TITLE: Preparation of substituted dipeptides as growth hormone secretagogues

INVENTOR(S): Dodge, Jeffrey Alan; Evers, Britta; Jungheim, Louis Nickolaus; Muehl, Brian Stephen; Ruehter, Gerd; Thrasher, Kenneth Jeff

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

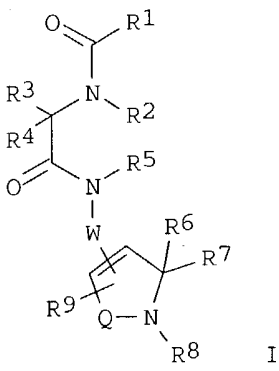
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002032888	A1	20020425	WO 2001-US27756		20011009
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2419388	AA	20020425	CA 2001-2419388		20011009
AU 2002011219	A5	20020429	AU 2002-11219		20011009
BR 2001014630	A	20030701	BR 2001-14630		20011009
EP 1326851	A1	20030716	EP 2001-979233		20011009
EP 1326851	B1	20040630			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004511552	T2	20040415	JP 2002-536270		20011009
AT 270280	E	20040715	AT 2001-979233		20011009
US 2004058971	A1	20040325	US 2003-380867		20030314
NO 2003001687	A	20030508	NO 2003-1687		20030411
PRIORITY APPLN. INFO.:			US 2000-240456P	P	20001013
			WO 2001-US27756	W	20011009

OTHER SOURCE(S): MARPAT 136:341001

GI

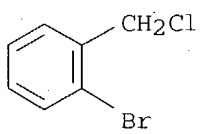


I

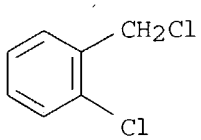
AB The invention relates to novel dipeptides I [R1 = NHR10 or alkylNHR10, where R10 = H, alkyl, alkyl(OH), alkylidenyl(OH)R11 or an amino-**protecting group** and R11 = alkyl, alkenyl, alkyl(O)alkyl, carbalkoxy, aryl, alkylaryl; R2, R5, R8 = H, alkyl, aryl, alkylaryl; R3 = (un)substituted aryl, alkylaryl, alkyl(O)alkylaryl, cycloalkyl, alkylcycloalkyl, indolyl, indolinyl, alkylindolyl; R4 = H, alkyl, aryl, alkylaryl, alkenyl; W = CH2C6H4 or (CH2)m (m = 1-4); R6, R7 = H, alkyl, alkenyl or R6R7C is carbocyclyl; R9 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cyano, (un)substituted aryl, etc.; Q = SO2 or CO] or pharmaceutically acceptable salts, which are useful in the modulation of endogenous growth hormone levels in a mammal. Novel intermediates, processes employed in the syntheses, and methods of treating a mammal are included. Thus, amide (R)-2-(2-amino-2-methylpropionylamino)-3-phenylmethoxypropionic acid, N-[3-(4-chlorophenoxy)-2,2-dioxo-1-methyl-2-thia-1-azaspiro[4.5]dec-3-ene-4-ylmethyl]-N-ethylamide trifluoroacetate was prepared via acylation of 3-(4-chlorophenoxy)-4-(ethylaminomethyl)-1-methyl-2-thia-1-azaspiro[4.5]dec-3-ene 2,2-dioxide (preparation given) with Boc-protected acid. Compds. I showed activity in the pituitary cell culture assay for growth hormone secretion.

IT **578-51-8**, 2-Bromobenzyl chloride **611-19-8**, 2-Chlorobenzyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted dipeptides as growth hormone secretagogues)

RN 578-51-8 CAPLUS
CN Benzene, 1-bromo-2-(chloromethyl)- (9CI) (CA INDEX NAME)



RN 611-19-8 CAPLUS
CN Benzene, 1-chloro-2-(chloromethyl)- (9CI) (CA INDEX NAME)

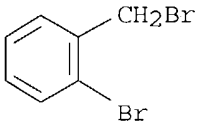


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:934175 CAPLUS
DOCUMENT NUMBER: 136:200451
TITLE: Palladium-Catalyzed Intramolecular α-Arylation of α-Amino Acid Esters
AUTHOR(S): Gaertzen, Oliver; Buchwald, Stephen L.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Journal of Organic Chemistry (2002), 67(2), 465-475
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200451

AB The Pd-catalyzed intramol. α-arylation of α-amino acid esters is described. Starting from readily available amino acids, the synthesis of a variety of isoindolines and tetrahydroisoquinoline carboxylic acid esters has been accomplished. Addnl., fused tricyclic systems can be efficiently prepared from cyclic amino acid esters. Reaction conditions have been found that allow the use of tert-Bu ester and N-(benzyloxycarbonyl) **protecting groups.**

IT **3433-80-5**, 2-Bromobenzyl bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(palladium-catalyzed intramol. α-arylation of α-amino acid esters)
RN 3433-80-5 CAPLUS
CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:396874 CAPLUS
DOCUMENT NUMBER: 134:367135
TITLE: **Protecting groups** useful in the synthesis of polysaccharides, natural products, and combinatorial libraries
INVENTOR(S): Buchwald, Stephen L.; Plante, Obadiah J.; Seeberger, Peter H.
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038337	A2	20010531	WO 2000-US32050	20001121
WO 2001038337	A3	20010907		
W: CA, JP				

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

CA 2391966	AA	20010531	CA 2000-2391966	20001121
US 6426421	B1	20020730	US 2000-717197	20001121
EP 1233970	A2	20020828	EP 2000-979219	20001121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2003514913	T2	20030422	JP 2001-540100	20001121
US 2003181690	A1	20030925	US 2002-146711	20020515
US 6693178	B2	20040217		
US 2004220389	A1	20041104	US 2004-774070	20040206

PRIORITY APPLN. INFO.:

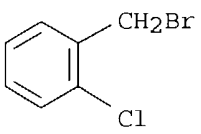
US 1999-167302P	P	19991124
US 2000-717197	A3	20001121
WO 2000-US32050	W	20001121
US 2002-146711	A1	20020515

OTHER SOURCE(S): CASREACT 134:367135; MARPAT 134:367135

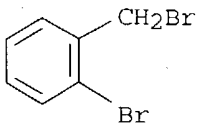
AB One aspect of the present invention relates to the preparation of optionally substituted halogenated benzyl halides Z-Ar-CH2X, wherein X represents Cl, Br, I, OTf, OTs, ONf, OMs; Z represents Cl, Br, or I; and Ar represents an optionally substituted monocyclic or polycyclic aryl or heteroaryl group, wherein CHX and Z are bonded to the same aromatic ring of Ar and the like. These compds. are useful as halogenated benzyl ether-based **protecting groups** for a variety of functional groups. Another aspect of the present invention relates to use of said **protecting groups** in an orthogonal **protecting group** strategy for the synthesis of complex mols. that comprise a number of suitable functional groups. Another aspect of the present invention relates to saccharides bearing various arrays of **protecting groups** of the present invention. Another aspect of the present invention relates to a method of synthesizing an oligosaccharide or glycoconjugate, comprising the steps of: using a saccharide bearing at least one **protecting group** of the present invention to glycosylate a second mol. to give a product comprising said saccharide; and removing a **protecting group** of the present invention from said product. Thus, Me 2-O-pivaloyl-3,4,6-tri-O-benzyl-P-D-glucopyranoside-(1-6)-3,4-di-O-benzyl-1-D-glucopyranoside was prepared using Me 2-O-pivaloyl-3,4,6-tri-O-benzyl-β-D-glucopyranoside-(1-6)-3,4-di-O-benzyl-2-O-(4-chlorobenzyl)-13-D-glucopyranoside, N-methylaniline, Pd(OAc), (o-biphenyl)P(t-Bu), for 16 h at room temperature (89%). Cleavage of the aminated intermediate with SnCl4 (0.13 mL 1.0 M in heptane, 0.13 mmol) using general procedure D gave 0.112 g (99%).

IT **611-17-6**, 2-Chlorobenzylbromide **3433-80-5**, 2-Bromobenzylbromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(**protecting groups** useful in the synthesis of polysaccharides natural products and combinatorial libraries)

RN 611-17-6 CAPLUS
CN Benzene, 1-(bromomethyl)-2-chloro- (9CI) (CA INDEX NAME)



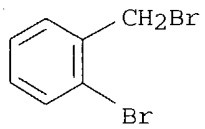
RN 3433-80-5 CAPLUS
CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:455840 CAPLUS
DOCUMENT NUMBER: 133:251835
TITLE: Halobenzyl Ethers as **Protecting Groups** for Organic Synthesis
AUTHOR(S): Plante, Obadiah J.; Buchwald, Stephen L.; Seeberger, Peter H.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Journal of the American Chemical Society (2000), 122(29), 7148-7149
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:251835

AB A new concept for the protection of hydroxyl groups was reported. Halobenzyl ethers of comparable chemical inertness to unsubstituted benzyl ethers were efficiently differentiated in an iterative deprotection scheme by palladium-catalyzed amination followed by treatment with a Lewis acid or protic acid. A suitable halobenzyl ether, for example, 6-O-[(4-bromophenyl)methyl]-1,2:3,4-bis-O-(1-methylethylidene)-α-D-galactopyranose, was prepared and treated with benzyl amine to give 6-O-[4-[[(phenylmethyl)amino]phenyl]methyl]-1,2:3,4-bis-O-(1-methylethylidene)-α-D-galactopyranose.

IT **3433-80-5**, 2-Bromobenzyl bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of halobenzyl ethers and their use as **protecting groups** in organic synthesis)
RN 3433-80-5 CAPLUS
CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:568839 CAPLUS
DOCUMENT NUMBER: 129:203198
TITLE: Preparation of 3'-N-oxide, 3'-N-dimethylamine, 9-oxime erythromycin derivatives
INVENTOR(S): Ku, Yi-Yin; Riley, David A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9835976	A1	19980820	WO 1998-US1929	19980203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5864023	A	19990126	US 1997-800009	19970213
CA 2279932	AA	19980820	CA 1998-2279932	19980203
AU 9862608	A1	19980908	AU 1998-62608	19980203
AU 725274	B2	20001012		
EP 966477	A1	19991229	EP 1998-904822	19980203
EP 966477	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 9901874	T2	20000421	TR 1999-9901874	19980203
BR 9807349	A	20000425	BR 1998-7349	19980203
NZ 336482	A	20010223	NZ 1998-336482	19980203
JP 2001512456	T2	20010821	JP 1998-535780	19980203
AT 252108	E	20031115	AT 1998-904822	19980203
PT 966477	T	20040331	PT 1998-904822	19980203
PL 187357	B1	20040630	PL 1998-335301	19980203
ES 2212266	T3	20040716	ES 1998-904822	19980203
SK 284157	B6	20041005	SK 1999-974	19980203
ZA 9800986	A	19980805	ZA 1998-986	19980206
NO 9903876	A	19990811	NO 1999-3876	19990811
MX 9907519	A	20000228	MX 1999-7519	19990813
BG 64099	B1	20031231	BG 1999-103673	19990820
PRIORITY APPLN. INFO.:			US 1997-800009 A	19970213
			WO 1998-US1929 W	19980203

OTHER SOURCE(S): MARPAT 129:203198

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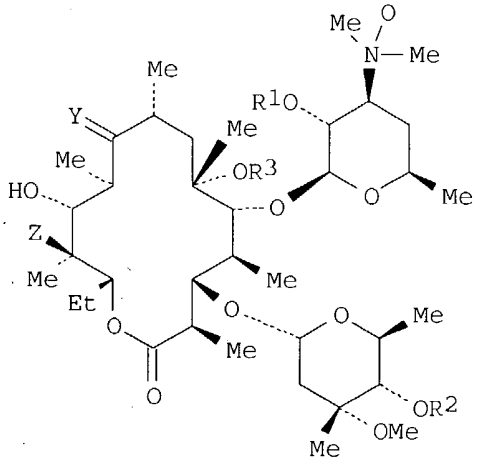


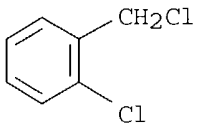
I

AB The disclosed invention relates to novel 3'-N-O,9-O-oxime protected, 6-O-alkyl erythromycin derivs. I (R1, R2 = independently H, hydroxy **protecting group**, R3 = lower alkyl, Y = oxime, NOR4, R4

= alkenyl, alkylaryl, arylalkyl), a process of preparing the same. The invention also relates to a process of preparing 6-O-alkyl erythromycin A by eliminating the 3'-N-oxide group and 9-O-oxime **protecting groups** and optionally deprotecting the hydroxy groups at the 2'- and 4''-positions under suitable reaction conditions. Thus, 6-O-methylerythromycin A 9-O-(2-chlorobenzyl)oxime was prepared via methylation of erythromycin A 9-O-(2-chlorobenzyl)oxime N-oxide with MeI followed by W4-Raney Ni-catalyzed reduction of the oxime.

IT **611-19-8**, 2-Chlorobenzyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxide dimethylamine oxime erythromycin derivs.)

RN 611-19-8 CAPLUS
CN Benzene, 1-chloro-2-(chloromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

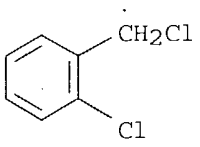
L10 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:679098 CAPLUS
DOCUMENT NUMBER: 127:307617
TITLE: Preparation of clarithromycin via 6-O-methylation of erythromycin A oximes
INVENTOR(S): Yang, Chengxi; Patel, Hemantkumar H.; Ku, Yi-Kin; Liu, Jih-Hua
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736912	A1	19971009	WO 1997-US1952	19970206
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5719272	A	19980217	US 1996-627795	19960402
CA 2250736	AA	19971009	CA 1997-2250736	19970206
EP 891370	A1	19990120	EP 1997-905824	19970206
EP 891370	B1	20021204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000507573	T2	20000620	JP 1997-535251	19970206
AT 229029	E	20021215	AT 1997-905824	19970206
PT 891370	T	20030430	PT 1997-905824	19970206
ES 2188896	T3	20030701	ES 1997-905824	19970206
PRIORITY APPLN. INFO.:			US 1996-627795 A	19960402
			WO 1997-US1952 W	19970206

OTHER SOURCE(S): MARPAT 127:307617

AB Clarithromycin was prepared via regioselective methylation of erythromycin A oximes. A preferred **protecting group** for the 2'-position is acetyl. 2'-protected, 9-ether-oxime erythromycin A derivs. are also provided. Also disclosed is a method for inhibiting quaternary salt formation at the 3' amine without the need for 3'-N-**protecting groups.**

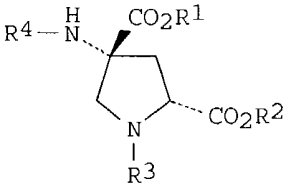
IT **611-19-8**, 2-Chlorobenzyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of clarithromycin via 6-O-methylation of erythromycin A oximes)
RN 611-19-8 CAPLUS
CN Benzene, 1-chloro-2-(chloromethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:410401 CAPLUS
DOCUMENT NUMBER: 125:86486
TITLE: (2R,4R)-4-Aminopyrrolidine-2,4-dicarboxylic acid derivatives as metabotropic glutamate receptor antagonists
INVENTOR(S): Monn, James Allen; Tizzano, Joseph Patrick; Valli, Matthew J.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605828	A1	19960229	WO 1995-US10320	19950814
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2198242	AA	19960229	CA 1995-2198242	19950814
AU 9533252	A1	19960314	AU 1995-33252	19950814
JP 10504569	T2	19980506	JP 1995-508157	19950814
EP 703218	A1	19960327	EP 1995-305800	19950821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1994-295337 A 19940824
WO 1995-US10320 W 19950814
OTHER SOURCE(S): MARPAT 125:86486
GI



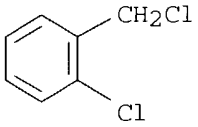
I

AB The present invention provides pyrrolidinyl dicarboxylic acid derivs. I

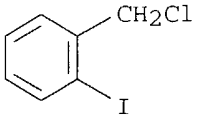
wherein: R1 and R2 are each individually H or a carboxy **protecting group**; R4 is H or an amino **protecting group**; R3 = e.g., C1-16 alkyl, C3-8 cycloalkyl; C3-8 cycloalkenyl, aryl, that affect certain excitatory amino acid receptors (no data), and are useful in the treatment of neurol. disorders and psychiatric disorders. This invention further provides novel pyrrolidinyl di-carboxylic acid derivs. and pharmaceutical formulations employing these novel compds. Thus, cis-4-hydroxy-D-proline was esterified and N-benzylated to provide (2R,4R) Et 1-benzyl-4-hydroxypyrrolidine-2-carboxylate; this was oxidized to the 4-oxo derivative which was treated with KCN/ammonium carbonate to afford (2R,4R) di-Et 1-benzyl-4-aminopyrrolidine-2,4-dicarboxylate; the latter was N-protected and debenzylated to afford (2R,4R) di-Et 4-(BOC-amino)pyrrolidine-2,4-dicarboxylate (II) as the scaffold intermediate. Reductive alkylation of II with pentanal afforded (2R,4R) di-Et 4-(BOC-amino)-1-pentylpyrrolidine-2,4-dicarboxylate which was deprotected and hydrolyzed to (2R,4R) 4-amino-1-pentylpyrrolidine-2,4-dicarboxylic acid (I; R1 = R2 = R4 = H, R3 = pentyl).

IT **611-19-8**, 2-Chlorobenzyl chloride **59473-45-9**, 2-Iodobenzyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
((2R,4R)-4-aminopyrrolidine-2,4-dicarboxylic acid derivs. as metabotropic glutamate receptor antagonists)

RN 611-19-8 CAPLUS
CN Benzene, 1-chloro-2-(chloromethyl)- (9CI) (CA INDEX NAME)



RN 59473-45-9 CAPLUS
CN Benzene, 1-(chloromethyl)-2-iodo- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:560681 CAPLUS
DOCUMENT NUMBER: 119:160681
TITLE: Chemical modification of erythromycins. IX. Selective methylation at the C-6 hydroxyl group of erythromycin A oxime derivatives and preparation of clarithromycin
AUTHOR(S): Watanabe, Yoshiaki; Morimoto, Shigeo; Adachi, Takashi; Kashimura, Masato; Asaka, Toshifumi
CORPORATE SOURCE: Res. Cent., Taisho Pharm. Co., Ltd., Ohmiya, 330, Japan
SOURCE: Journal of Antibiotics (1993), 46(4), 647-60
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:160681

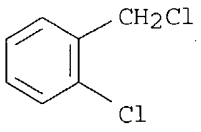
AB Although erythromycin A contains five hydroxyl groups, regioselective methylation at the C-6 hydroxyl group was achieved to the extent of 90% when a 9-O-substituted erythromycin A 9-oxime was employed as substrate. The methylation and its selectivity are dependent on an O-

protecting group at the 9-oxime, solvent, base, and methylating reagent. In particular, the use of a polar aprotic solvent is indispensable for the methylation. Among the 9-oxime derivs., 2'-O-3'-N-bis(benzyloxycarbonyl)-N-demethylerythromycin A 9-[O-(2-chlorobenzyl)oxime] was the most important intermediate for the synthesis of clarithromycin (6-O-methylerythromycin A).

IT **611-19-8**, 2-Chlorobenzyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with erythromycin A derivative)

RN 611-19-8 CAPLUS

CN Benzene, 1-chloro-2-(chloromethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:213714 CAPLUS

DOCUMENT NUMBER: 116:213714

TITLE: New applications of 1,5-hydrogen atom transfer reactions: self-oxidizing **protecting groups**

AUTHOR(S): Curran, Dennis P.; Yu, Hosung

CORPORATE SOURCE: Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA

SOURCE: Synthesis (1992), (1-2), 123-7
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

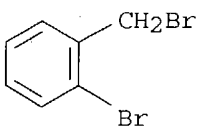
OTHER SOURCE(S): CASREACT 116:213714

AB Three new alc. **protecting groups** are introduced: o-bromobenzyl, o-bromo(methylenedioxy)benzyl, and o-bromotrityl. Removal of these **protecting groups** under reductive conditions with tributyltin hydride is coupled with an oxidation of the substrate to produce directly an aldehyde or ketone. This oxidation occurs by 1,5-hydrogen transfer, followed by β-fragmentation. For example, treatment of Ph(CH2)3OCH2C6H4Br-2 with 0.001 M Bu3SnH at 80° directly produces 3-phenyl-1-propanal. An application to the selective oxidation of primary alcs. in the presence of secondary alcs. is also introduced.

IT **3433-80-5**, 2-Bromobenzyl bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with benzenepropanol or tert-butylcyclohexanol)

RN 3433-80-5 CAPLUS

CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:492097 CAPLUS

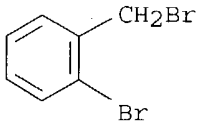
DOCUMENT NUMBER: 115:92097

10/774,070

TITLE: Preparation of substituted carboxytetrahydroisoquinolines and derivatives useful for treatment of cerebrovascular disorders or as anesthetics
INVENTOR(S): Johnson, Graham; Malone, Thomas Charles
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 421436	A2	19910410	EP 1990-119077	19901004
EP 421436	A3	19920311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9063680	A1	19910411	AU 1990-63680	19900928
CA 2026680	AA	19910404	CA 1990-2026680	19901002
NO 9004285	A	19910404	NO 1990-4285	19901002
JP 03120254	A2	19910522	JP 1990-263276	19901002
ZA 9007870	A	19920624	ZA 1990-7870	19901002

PRIORITY APPLN. INFO.: US 1989-416684 A 19891003
OTHER SOURCE(S): MARPAT 115:92097
GI For diagram(s), see printed CA Issue.
AB Title compds. I (R1 = H, **protecting group**; R2, R3 = H, alkyl, aryl, alkylaryl, R4O2C; R4 = H, alkyl, alkenyl, aryl, etc., with a proviso; R5 = H, HO when R3 is R4O2C and is H, alkyl, aryl, arylalkyl when R2 is R4O2C; R6, R7 = H, HO alkoxy, alkyl, aryl, etc., with a proviso; A = HO2C, HO3S, H2O3PO, tetrazolyl, etc.; B = Ph, 5-6-membered heteroaryl) or a salt thereof, useful for treating cardiovascular disorders or as anesthetics (no data), were prepared Me 2-bromo-N-(methoxycarbonyl)phenylalaninate (preparation given) in AcOH/H2SO4 was treated with paraformaldehyde to give title compound II.
IT **3433-80-5**, 2-Bromobenzyl bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of quinolinecarboxylates)
RN 3433-80-5 CAPLUS
CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:426791 CAPLUS
DOCUMENT NUMBER: 93:26791
TITLE: New side chain **protecting groups** for lysine and tyrosine suitable for solid-phase peptide synthesis
AUTHOR(S): Salem, Ezzeldin M.; Schou, O.
CORPORATE SOURCE: Tanning Res. Lab., Natl. Res. Cent., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1980), 19B(1), 62-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal

10/774,070

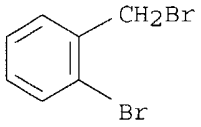
LANGUAGE: English

AB BOC-Tyr(BzlBr-2)-OH (I; BOC = Me3CO2C, BzlBr-2 = CH2C6H4Br-2) and BOC-Lys (ZCl-2)OH (II, ZCl-2 = CO2CH2C6H4Cl-2) were used in the solid-phase synthesis of H-Glu-Arg(NO2)-Gly-Phe-Phe-Tyr-Thr-Pro-Lys-Thr-OH, corresponding to the 21-30 sequence of human insulin β-chain. Tyrosine Cu complex was treated with BrCH2C6H4Br to give the Cu complex of H-Tyr(BzlBr-2)-OH, which was decomplexed with 2M HCl/HCO2H to give H-Tyr(BzlBr-2)-OH, which was treated with BOC-N3 to give I. Lysine Cu complex was treated with ClCO2CH2C6H4Cl-2 to give the Cu complex of H-Lys(ZCl-2)-OH, which was decomplexed and treated with BOC-N3 to give II.

IT **3433-80-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with copper tyrosine complex)

RN 3433-80-5 CAPLUS

CN Benzene, 1-bromo-2-(bromomethyl)- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 12:32:25 ON 21 DEC 2004)

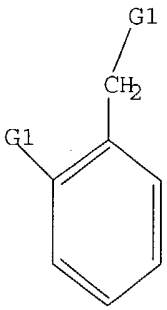
FILE 'REGISTRY' ENTERED AT 12:32:36 ON 21 DEC 2004

L1 STRUCTURE UPLOADED
L2 5 S L1
L3 STRUCTURE UPLOADED
L4 39 S L3
L5 STRUCTURE UPLOADED
L6 0 S L5
L7 25 S L5 FULL

FILE 'CAPLUS' ENTERED AT 12:37:56 ON 21 DEC 2004

L8 1632 S L7
L9 14222 S PROTECTING GROUP?
L10 12 S L8 AND L9

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 Cl,Br,I

G2 O,Cl,Br,I

Structure attributes must be viewed using STN Express query preparation.

=>

PALM INTRANET

Day : Tuesday
Date: 12/21/2004
Time: 12:25:47

Inventor Name Search Result

Your Search was:

Last Name = BUCHWALD
First Name = STEPHEN

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
60632377	Not Issued	020	12/02/2004	DAPH ANALOGS	BUCHWALD, STEPHEN L.
60579191	Not Issued	020	06/12/2004	ABUSE-DETERRENT DRUG FORMULATIONS	BUCHWALD, STEPHEN L.
60576010	Not Issued	020	06/01/2004	METHODS FOR THE SYNTHESIS OF MILNACIPRAN AND CONGENERS THEREOF	BUCHWALD, STEPHEN L.
60476345	Not Issued	159	06/06/2003	METHODS FOR THE SYNTHESIS OF MILNACIPRAN AND CONGENERS THEREOF	BUCHWALD, STEPHEN L.
60464450	Not Issued	159	04/22/2003	METHODS FOR THE SYNTHESIS OF MILNACIPRAN AND CONGENERS THEREOF	BUCHWALD, STEPHEN L.
60463514	Not Issued	159	04/15/2003	ABUSE-RESISTANT FORMULATIONS OF OXYCODONE AND OTHER DRUGS	BUCHWALD, STEPHEN L.
60451562	Not Issued	159	03/03/2003	TRANSITION-METAL-CATALYZED CARBON-NITROGEN AND CARBON-CARBON BOND-FORMING REACTIONS	BUCHWALD, STEPHEN L.
60445142	Not Issued	159	02/05/2003	STEREOISOMERS OF P-HYDROXY-MILNACIPRAN, AND METHODS OF USE THEREOF	BUCHWALD, STEPHEN L.
60431870	Not Issued	159	12/09/2002	LIGANDS FOR METALS, AND IMPROVED METAL-CATALYZED PROCESSES BASED THEREON	BUCHWALD, STEPHEN L.
60429786	Not Issued	159	11/27/2002	METHODS FOR THE SYNTHESIS OF MILNACIPRAN AND CONGENERS THEREOF	BUCHWALD, STEPHEN L.
60423062	Not Issued	159	11/01/2002	STEREOISOMERS OF P-HYDROXY-MILNACIPRAN, AND METHODS OF USE THEREOF	BUCHWALD, STEPHEN L.

60400902	Not Issued	159	08/02/2002	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
60393876	Not Issued	159	07/05/2002	ABUSE-RESISTANT FORMULATIONS OF OXYCONTIN AND OTHER DRUGS	BUCHWALD, STEPHEN L.
60354321	Not Issued	159	02/04/2002	TRANSITION-METAL-CATALYZED CARBON-NITROGEN BOND-FORMING METHODS USING CARBENE LIGANDS	BUCHWALD, STEPHEN L.
60348014	Not Issued	159	10/24/2001	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
60344208	Not Issued	159	12/21/2001	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
60286268	Not Issued	159	04/24/2001	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
60167302	Not Issued	159	11/24/1999	PROTECTING GROUPS USEFUL IN THE SYNTHESIS OF POLYSACCHARIDES, NATURAL PRODUCTS, AND COMBINATORIAL LIBRARIES	BUCHWALD, STEPHEN L.
60163762	Not Issued	159	11/05/1999	METHODS FOR THE PREPARATION OF LIGANDS FOR TRANSITIONS METALS, THE ACTIVATION OF TRANSITION METAL CATALYSTS, AND THE N-ARYLATION OF AMIDES	BUCHWALD, STEPHEN L.
60154008	Not Issued	159	09/15/1999	ASYMMETRIC 1,4- REDUCTIONS OF AND 1,4- ADDITIONS TO ENOATES AND RELATED SYSTEMS	BUCHWALD, STEPHEN L.
60061114	Not Issued	159	10/06/1997	DIARYL ETHER CONDENSATION REACTIONS	BUCHWALD, STEPHEN L.
60006728	Not Issued	159	11/14/1995	REPLACEMENT SOLVENTS FOR USE IN CHEMICAL SYNTHESIS	BUCHWALD, STEPHEN L.
10935535	Not Issued	020	09/07/2004	ASYMMETRIC 1,4-REDUCTIONS OF AND 1,4-ADDITIONS TO ENOATES AND RELATED SYSTEMS	BUCHWALD, STEPHEN L.
10774070	Not Issued	030	02/06/2004	PROTECTING GROUPS USEFUL IN THE SYNTHESIS OF POLYSACCHARIDES, NATURAL PRODUCTS, AND	BUCHWALD, STEPHEN L.

				COMBINATORIAL LIBRARIES	
10731702	Not Issued	030	12/09/2003	LIGANDS FOR METALS AND IMPROVED METAL-CATALYZED PROCESSES BASED THEREON	BUCHWALD, STEPHEN L.
10691465	Not Issued	041	10/22/2003	STEREOISOMERS OF P-HYDROXY-MILNACIPRAN, AND METHODS OF USE THEREOF	BUCHWALD, STEPHEN L.
10631480	Not Issued	094	07/31/2003	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
10614866	Not Issued	030	07/07/2003	ABUSE-DETERRENT PHARMACEUTICAL COMPOSITIONS OF OPIODS AND OTHER DRUGS	BUCHWALD, STEPHEN L.
10613742	Not Issued	030	07/03/2003	ABUSE-RESISTANT PRODRUGS OF OXYCODONE AND OTHER PHARMACEUTICALS	BUCHWALD, STEPHEN L.
10435719	Not Issued	094	05/08/2003	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
10420950	Not Issued	071	04/22/2003	LIGANDS FOR METALS AND IMPROVED METAL-CATALYZED PROCESSES BASED THEREON	BUCHWALD, STEPHEN L.
10349198	Not Issued	092	01/22/2003	TRANSITION-METAL-CATALYZED CARBON-NITROGEN BOND-FORMING METHODS USING CARBENE LIGANDS	BUCHWALD, STEPHEN L.
10272501	6787655	150	10/15/2002	ASYMMETRIC 1,4-REDUCTIONS OF AND 1,4-ADDITIONS TO ENOATES AND RELATED SYSTEMS	BUCHWALD, STEPHEN L.
10146711	6693178	150	05/15/2002	PROTECTING GROUPS USEFUL IN THE SYNTHESIS OF POLYSACCHARIDES, NATURAL PRODUCTS, AND COMBINATORIAL LIBRARIES	BUCHWALD, STEPHEN L.
10132884	6762329	150	04/25/2002	DIARYL ETHER CONDENSATION REACTIONS	BUCHWALD, STEPHEN
10128981	6759554	150	04/24/2002	COPPER-CATALYZED FORMATION OF CARBON-HETEROATOM AND CARBON-CARBON BONDS	BUCHWALD, STEPHEN L.
10004101	Not Issued	077	10/23/2001	LIGANDS FOR METALS AND IMPROVED METAL-CATALYZED PROCESSES BASED THEREON	BUCHWALD, STEPHEN L.
09765072	6465693	150	01/18/2001	METAL-CATALYZED ARYLATIONS OF HYDRAZINES, HYDRAZONES,	BUCHWALD, STEPHEN L.

				AND RELATED SUBSTRATES	
09747280	Not Issued	161	12/21/2000	SYNTHESIS OF ARYL ETHERS	BUCHWALD, STEPHEN L.
09717197	6426421	150	11/21/2000	PROTECTING GROUPS USEFUL IN THE SYNTHESIS OF POLYSACCHARIDES, NATURAL PRODUCTS, AND COMBINATORIAL LIBRARIES	BUCHWALD, STEPHEN L.
09662430	6465664	150	09/13/2000	ASYMMETRIC 1,4-REDUCTIONS OF AND 1,4-ADDITIONS TO ENOATES AND RELATED SYSTEMS	BUCHWALD, STEPHEN L.
09206820	6166226	150	12/08/1998	SYNTHESIS OF ARYL ETHERS	BUCHWALD, STEPHEN L.
09122324	6323366	150	07/24/1998	ARYLAMINE SYNTHESIS	BUCHWALD, STEPHEN L.
09113478	6395916	150	07/10/1998	NEW LIGANDS FOR METALS AND IMPROVED METAL-CATALYZED PROCESSES BASED THEREON	BUCHWALD, STEPHEN L.
08972645	Not Issued	169	11/18/1997	PREPARATION OF ARYLAMINES	BUCHWALD, STEPHEN L.
08748457	6231783	150	11/13/1996	REPLACEMENT SOLVENTS FOR USE IN CHEMICAL SYNTHESIS	BUCHWALD, STEPHEN L.
08728449	5847166	150	10/10/1996	SYNTHESIS OF ARYL ETHERS	BUCHWALD, STEPHEN L.
08281449	5576460	150	07/27/1994	PREPARATION OF ARYLAMINES	BUCHWALD, STEPHEN L.
08273842	5491233	150	07/12/1994	CATALYTIC ASYMMETRIC REDUCTION OF TRISUBSTITUTED OLEFINS	BUCHWALD, STEPHEN L.
08195358	5489682	150	02/10/1994	CATALYTIC ASYMMETRIC REDUCTION OF ENAMINES	BUCHWALD, STEPHEN L.
07390062	5004820	150	08/07/1989	PREPARATION OF CHIRAL METALLOCENE DIHALIDES	BUCHWALD, STEPHEN L.

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Search Another: Inventor

Last Name Buchwald **First Name** Stephen

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